

=> fil reg

FILE 'REGISTRY' ENTERED AT 15:25:50 ON 06 MAY 2004
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STRUCTURE FILE UPDATES: 5 MAY 2004 HIGHEST RN 680179-46-8
 DICTIONARY FILE UPDATES: 5 MAY 2004 HIGHEST RN 680179-46-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

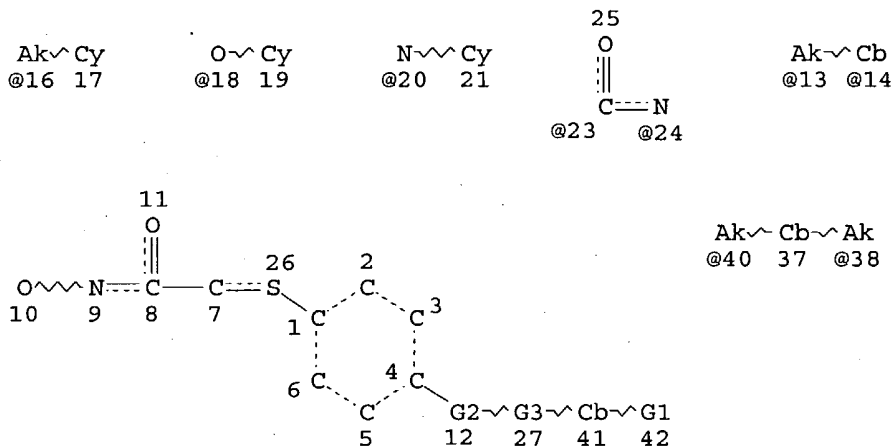
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Experimental and calculated property data are now available. For more
 information enter HELP PROP at an arrow prompt in the file or refer
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<http://www.cas.org/ONLINE/DBSS/registryss.html>

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L5 STR



VAR G1=CY/16/18/20
 VAR G2=O/S/N/23-4 24-27/24-4 23-27/C
 VAR G3=AK/CB/13-12 14-41/14-12 13-41/40-12 38-41
 NODE ATTRIBUTES:
 NSPEC IS R AT 7
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 30

STEREO ATTRIBUTES: NONE
 L7 157 SEA FILE=REGISTRY SSS FUL L5

100.0% PROCESSED 3605 ITERATIONS
 SEARCH TIME: 00.00.01

157 ANSWERS

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(FILE 'HOME' ENTERED AT 15:06:14 ON 06 MAY 2004)
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L3 STR L1
L4 10 S L3
L5 STR L3
L6 10 S L5
L7 157 S L5 FUL
SAV L7 ZINNA657/A

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L8 0 S L7

FILE 'HCAPLUS' ENTERED AT 15:23:28 ON 06 MAY 2004

L9 2 S L7
L10 1 S L9 AND PHARMACIA?/PA,CS
L11 2 S L9 AND (FRESKOS ? OR FOBIAN ? OR BARTA ? OR BECKER ? OR BEDEL
L12 2 S L9-L11

FILE 'USPATFULL, USPAT2' ENTERED AT 15:25:18 ON 06 MAY 2004

L13 3 S L7

FILE 'REGISTRY' ENTERED AT 15:25:50 ON 06 MAY 2004

=> fil uspatall

FILE 'USPATFULL' ENTERED AT 15:25:58 ON 06 MAY 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 15:25:58 ON 06 MAY 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=>

=> d l13 bib abs hitrn fhitrstr tot

L13 ANSWER 1 OF 3 USPATFULL on STN

AN 2004:31882 USPATFULL

TI Aromatic sulfone hydroxamates and their use as protease inhibitors

IN Freskos, John N., Clayton, MO, UNITED STATES
Fobian, Y vette M., Wildwood, MO, UNITED STATES
Awasthi, Alok K., Skokie, IL, UNITED STATES
Barta, Thomas E., Evanston, IL, UNITED STATES
Becker, Daniel P., Glenview, IL, UNITED STATES
Bedell, Louis J., Mt. Prospect, IL, UNITED STATES
Boehm, Terri L., Ballwin, MO, UNITED STATES
Carroll, Jeffery N., Columbia, IL, UNITED STATES
Chandrakumar, Nizal S., Vernon Hills, IL, UNITED STATES
DeCrescenzo, Gary A., St. Charles, MO, UNITED STATES
Desai, Bipin N., Vernon Hills, IL, UNITED STATES
Heron, Marcia I., Wester Springs, IL, UNITED STATES
Hockerman, Susan L., Lincolnwood, IL, UNITED STATES
Jull, Sara M., Villa Park, IL, UNITED STATES
Kassab, Darren J., O' Fallon, MO, UNITED STATES
Kolodziej, Steve A., Ballwin, MO, UNITED STATES
McDonald, Joseph, Wildwood, MO, UNITED STATES
Mischke, Deborah A., Defiance, MO, UNITED STATES
Mullins, Patrick B., St Louis, MO, UNITED STATES
Norton, Monica B., St. Louis, MO, UNITED STATES

Rico, Joseph G., Ballwin, MO, UNITED STATES
Talley, John J., Cambridge, MA, UNITED STATES
Trivedi, Mahima, Skokie, IL, UNITED STATES
Villamil, Clara I., Glenview, IL, UNITED STATES
Wang, Lijuan Jane, Wildwood, MO, UNITED STATES

PI US 2004024024 A1 20040205
AI US 2002-291983 A1 20021112 (10)
RLI Continuation-in-part of Ser. No. US 2002-142737, filed on 10 May 2002,
PENDING
PRAI US 2001-290375P 20010511 (60)
DT Utility
FS APPLICATION
LREP HARNESS, DICKEY, & PIERCE, P.L.C, 7700 BONHOMME, STE 400, ST. LOUIS, MO,
63105
CLMN Number of Claims: 26
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 11028

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention is directed to aromatic sulfone hydroxamates (also known as "aromatic sulfone hydroxamic acids") and salts thereof that, inter alia, inhibit matrix metalloproteinase (also known as "matrix metalloprotease" or "MMP") activity and/or aggrecanase activity. This invention also is directed to a prevention or treatment method that comprises administering such a compound or salt in an MMP-inhibiting and/or aggrecanase-inhibiting effective amount to an animal, particularly a mammal having (or disposed to having) a pathological condition associated with MMP and/or aggrecanase activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 476182-38-4P 476182-39-5P 476182-40-8P
476182-41-9P 476182-42-0P 476182-52-2P
476186-38-6P 476186-39-7P 476186-40-0P
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476187-48-1P 476187-49-2P 476187-50-5P

476189-11-4P

(claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 476189-30-7P 476189-32-9P 476189-38-5P

476189-41-0P 476189-44-3P 476189-53-4P

476191-01-2P 476191-13-6P 476191-15-8P

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476195-24-1P 476195-25-2P 476195-26-3P

476195-27-4P 476195-31-0P 476195-33-2P

476195-41-2P

(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 476189-73-8 476189-81-8 476189-91-0

476189-93-2 476189-95-4 476190-30-4

476191-35-2 476191-73-8 476191-87-4

476191-94-3 476192-10-6 476194-89-5

476195-23-0

(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 476193-41-6P 476193-49-4P 476193-57-4P

476193-90-5P 476193-95-0P 476193-99-4P

476194-29-3P 476194-38-4P

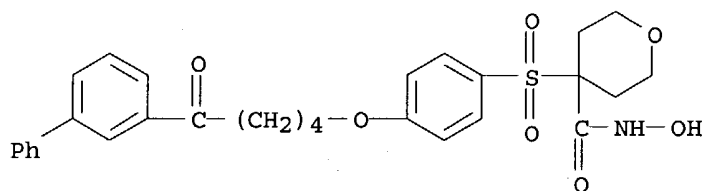
(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 476182-38-4P

(claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

RN 476182-38-4 USPATFULL

CN 2H-Pyran-4-carboxamide, 4-[[4-[(5-[1,1'-biphenyl]-3-yl-5-oxopentyl)oxy]phenyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)



L13 ANSWER 2 OF 3 USPATFULL on STN

AN 2004:13496 USPATFULL

TI Aromatic sulfone hydroxamates and their use as protease inhibitors

IN Freskos, John N., Clayton, MO, UNITED STATES

Fobian, Yvette M., Wildwood, MO, UNITED STATES

Barta, Thomas E., Evanston, IL, UNITED STATES

Becker, Daniel P., Glenview, IL, UNITED STATES

Bedell, Louis J., Mt. Prospect, IL, UNITED STATES

Boehm, Terri L., Ballwin, MO, UNITED STATES

Carroll, Jeffery N., Columbia, IL, UNITED STATES

DeCrescenzo, Gary A., St. Charles, MO, UNITED STATES

Hockerman, Susan L., Chicago, IL, UNITED STATES

Kassab, Darren J., Wildwood, MO, UNITED STATES

Kolodziej, Steve A., Ballwin, MO, UNITED STATES

McDonald, Joseph, Wildwood, MO, UNITED STATES

Mischke, Deborah A., Defiance, MO, UNITED STATES
Norton, Monica B., St. Louis, MO, UNITED STATES
Rico, Joseph G., Ballwin, MO, UNITED STATES
Talley, John J., Cambridge, MA, UNITED STATES
Villamil, Clara I., Glenview, IL, UNITED STATES
Wang, Lijuan Jane, Wildwood, MO, UNITED STATES
PI US 2004010019 A1 20040115
US 6689794 B2 20040210
AI US 2002-142737 A1 20020510 (10)
PRAI US 2001-290375P 20010511 (60)
DT Utility
FS APPLICATION
LREP David M. Gryte, Harness, Dickey & Pierce, P.L.C., Suite 400, 7700
Bonhomme, St. Louis, MO, 63105
CLMN Number of Claims: 393
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 15379
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention is directed to aromatic sulfone hydroxamates (also known as "aromatic sulfone hydroxamic acids") and salts thereof that, inter alia, inhibit matrix metalloproteinase (also known as "matrix metalloprotease" or "MMP") activity and/or aggrecanase activity. This invention also is directed to a prevention or treatment method that comprises administering such a compound or salt in an MMP-inhibiting and/or aggrecanase-inhibiting effective amount to an animal, particularly a mammal having (or disposed to having) a pathological condition associated with MMP and/or aggrecanase activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 476182-38-4P 476182-39-5P 476182-40-8P
476182-41-9P 476182-42-0P 476182-52-2P
476186-38-6P 476186-39-7P 476186-40-0P
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476187-45-8P 476187-46-9P 476187-47-0P
 476187-48-1P 476187-49-2P 476187-50-5P
 476189-11-4P

(claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 476189-30-7P 476189-32-9P 476189-38-5P
 476189-41-0P 476189-44-3P 476189-53-4P
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 476195-27-4P 476195-31-0P 476195-33-2P
 476195-41-2P

(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 476189-73-8 476189-81-8 476189-91-0
 476189-93-2 476189-95-4 476190-30-4
 476191-35-2 476191-73-8 476191-87-4
 476191-94-3 476192-10-6 476194-89-5
 476195-23-0

(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

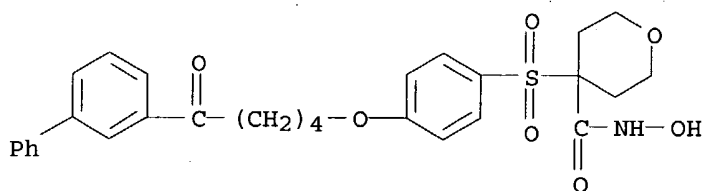
IT 476193-41-6P 476193-49-4P 476193-57-4P
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 476194-29-3P 476194-38-4P

(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 476182-38-4P
 (claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

RN 476182-38-4 USPATFULL

CN 2H-Pyran-4-carboxamide, 4-[[[4-[(5-[1,1'-biphenyl]-3-yl-5-oxopentyl)oxy]phenyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)



L13 ANSWER 3 OF 3 USPAT2 on STN

AN 2004:13496 USPAT2

TI Aromatic sulfone hydroxamates and their use as protease inhibitors

IN Freskos, John N., Clayton, MO, United States
 Fobian, Yvette M., Wildwood, MO, United States
 Barta, Thomas E., Evanston, IL, United States
 Becker, Daniel P., Glenview, IL, United States
 Bedell, Louis J., Mt. Prospect, IL, United States
 Boehm, Terri L., Ballwin, MO, United States
 Carroll, Jeffery N., Columbia, IL, United States
 DeCrescenzo, Gary A., St. Charles, MO, United States
 Hockerman, Susan L., Chicago, IL, United States
 Kassab, Darren J., Wildwood, MO, United States
 Kolodziej, Steve A., Ballwin, MO, United States
 McDonald, Joseph, Wildwood, MO, United States

Mischke, Deborah A., Defiance, MO, United States
Norton, Monica B., St. Louis, MO, United States
Rico, Joseph G., Ballwin, MO, United States
Talley, John J., Boston, MA, United States
Villamil, Clara I., Glenview, IL, United States
Wang, Lijuan Jane, Wildwood, MO, United States
PA Pharmacia Corporation, St. Louis, MO, United States (U.S. corporation)
PI US 6689794 B2 20040210
AI US 2002-142737 20020510 (10)
PRAI US 2001-290375P 20010511 (60)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Davis, Zinna Northington
LREP Harness, Dickey & Pierce, P.L.C.
CLMN Number of Claims: 90
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 9810
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention is directed to aromatic sulfone hydroxamates (also known as "aromatic sulfone hydroxamic acids") and salts thereof that, inter alia, inhibit matrix metalloproteinase (also known as "matrix metalloprotease" or "MMP") activity and/or aggrecanase activity. This invention also is directed to a prevention or treatment method that comprises administering such a compound or salt in an MMP-inhibiting and/or aggrecanase-inhibiting effective amount to an animal, particularly a mammal having (or disposed to having) a pathological condition associated with MMP and/or aggrecanase activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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476182-41-9P 476182-42-0P 476182-52-2P
476186-38-6P 476186-39-7P 476186-40-0P
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 476189-11-4P

(claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 476189-30-7P 476189-32-9P 476189-38-5P
 476189-41-0P 476189-44-3P 476189-53-4P
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 476195-41-2P

(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 476189-73-8 476189-81-8 476189-91-0
 476189-93-2 476189-95-4 476190-30-4
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 476195-23-0

(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

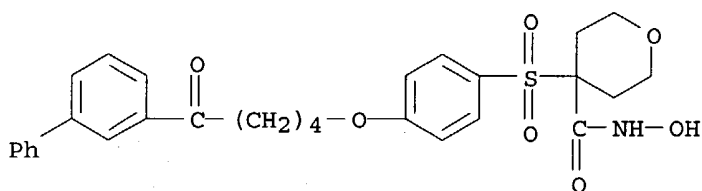
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(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 476182-38-4P
 (claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

RN 476182-38-4 USPAT2

CN 2H-Pyran-4-carboxamide, 4-[[4-[(5-[1,1'-biphenyl]-3-yl-5-oxopentyl)oxy]phenyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)



=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 15:26:41 ON 06 MAY 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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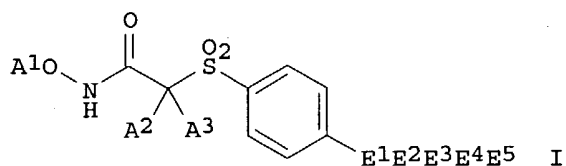
FILE COVERS 1907 - 6 May 2004 VOL 140 ISS 19
FILE LAST UPDATED: 5 May 2004 (20040505/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all fhitr tot 112

L12 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:100823 HCAPLUS
DN 140:163704
ED Entered STN: 08 Feb 2004
TI Preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors
IN Freskos, John N.; Fobian, Yvette M.; Awasthi, Alok K.; Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Carroll, Jeffery N.; Chandrakumar, Nizal S.; Decrescenzo, Gary A.; Desai, Bipin N.; Heron, Marcia I.; Hockerman, Susan L.; Jull, Sara M.; Kassab, Darren J.; Kolodziej, Steve A.; McDonald, Joseph; Mischke, Deborah A.; Mullins, Patrick B.; Norton, Monica B.; Rico, Joseph G.; Talley, John J.; Trivedi, Mahima; Villamil, Clara I.; Wang, Lijuan Jane
PA USA
SO U.S. Pat. Appl. Publ., 365 pp., Cont.-in-part of U.S. Ser. No. 142,737. CODEN: USXXCO
DT Patent
LA English
IC ICM C07D405-02
ICS C07D403-02; A61K031-451; A61K031-454; A61K031-415
NCL 514326000; 514513000; 514357000; 514408000; 514575000; 514382000; 514459000; 546210000; 546207000; 548252000
CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004024024	A1	20040205	US 2002-291983	20021112
	US 2004010019	A1	20040115	US 2002-142737	20020510
	US 6689794	B2	20040210		
PRAI	US 2001-290375P	P	20010511		
	US 2002-142737	A2	20020510		
OS	MARPAT 140:163704				
GI					



AB Title compds. [I; A1 = H, (substituted) alkylcarbonyl, alkoxy carbonyl, carbocyclylcarbonyl, heterocyclylcarbonyl, aminoalkylthiocarbonyl, etc.; A2A3 = (substituted) heterocyclyl; E1 = O, S, SO, SO2, NR1, CONR1, CR1R2;

E2 = (substituted) alkyl, cycloalkyl, alkylcycloalkyl, cycloalkylalkyl, alkylcycloalkylalkyl; E3 = CO, O2C, CNR3, NR4, NR4SO2, S, SO, etc.; E4 = bond, (substituted) alkyl, alkenyl; E5 = H, OH, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, carbocyclyl, heterocyclyl; R1, R2 = H, (substituted) alkyl; R4 = H, alkyl, cycloalkyl, etc.; with provisos], were prepared Thus, tetrahydro-4-[[4-[[5-(4-methoxyphenyl)-5-oxopentyl]oxy]phenyl]sulfonyl]-2H-pyran-4-carboxylic acid 1,1-dimethylethyl ester (preparation given) in CH2Cl2 was treated with Me3SiCN and ZnI2 to give 81% cyanohydrin. The product in DMF was treated with 1-hydroxybenzotriazole, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, N-methylmorpholine, and tetrahydropyranhydroxylamine to give 70% THP-protected hydroxamate. The latter was stirred with aqueous HCl in dioxane/MeOH to give 59% 4-[[4-[[[(4Z)-5-cyano-5-(4-methoxyphenyl)-4-pentenyl]oxy]phenyl]sulfonyl]tetrahydro-N-hydroxy-2H-pyran-4-carboxamide. This inhibited MMP-13 with IC50 = 0.2 nM.

- ST arylsulfonylpyranhydroxamate prepn matrix metalloprotease aggrecanase inhibitor; pyranhydroxamate arylsulfonyl prepn matrix metalloprotease aggrecanase inhibitor; arylsulfonylpyran hydroxamate prepn matrix metalloprotease aggrecanase inhibitor
- IT Nervous system, disease
(central, treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Nervous system, disease
(degeneration, treatment of; preparation of arylsulfonylpyranhydroxamates for treating a pathol. condition of the CNS associated with nitrosative or oxidative stress)
- IT Brain, disease
(ischemia, treatment of; preparation of arylsulfonylpyranhydroxamates for treating a pathol. condition of the CNS associated with nitrosative or oxidative stress)
- IT Human
Nervous system agents
(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Hydroxamic acids
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Anti-ischemic agents
Oxidative stress, biological
(preparation of arylsulfonylpyranhydroxamates for treating a pathol. condition of the CNS associated with nitrosative or oxidative stress)
- IT Brain, disease
(stroke, treatment of; preparation of arylsulfonylpyranhydroxamates for treating a pathol. condition of the CNS associated with nitrosative or oxidative stress)
- IT 308829-55-2P 476182-04-4P 476182-05-5P 476182-07-7P 476182-08-8P
476182-09-9P 476182-10-2P 476182-11-3P 476182-12-4P 476182-13-5P
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476182-43-1P 476182-44-2P 476182-45-3P 476182-46-4P 476182-47-5P
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476182-77-1P 476182-78-2P 476182-79-3P 476182-80-6P 476182-81-7P
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476183-32-1P	476183-33-2P	476183-34-3P	476183-35-4P	476183-36-5P
476183-37-6P	476183-38-7P	476183-39-8P	476183-40-1P	476183-41-2P
476183-42-3P	476183-44-5P	476183-45-6P	476183-46-7P	476183-47-8P
476183-48-9P	476183-49-0P	476183-50-3P	476183-51-4P	476183-53-6P
476183-54-7P	476183-55-8P	476183-56-9P	476183-57-0P	476183-58-1P
476183-59-2P	476183-60-5P	476183-61-6P	476183-62-7P	476183-63-8P
476183-64-9P	476183-65-0P	476183-66-1P	476183-67-2P	476183-68-3P
476183-69-4P	476183-70-7P	476183-71-8P	476183-72-9P	476183-73-0P
476183-74-1P	476183-75-2P	476183-76-3P	476183-77-4P	476183-78-5P
476183-79-6P	476183-80-9P	476183-81-0P	476183-82-1P	476183-83-2P
476183-84-3P	476183-85-4P	476183-86-5P	476183-87-6P	476183-88-7P
476183-89-8P	476183-90-1P	476183-91-2P	476183-92-3P	476183-93-4P
476183-94-5P	476183-95-6P	476183-96-7P	476183-97-8P	476183-98-9P
476183-99-0P	476184-00-6P	476184-01-7P	476184-02-8P	476184-03-9P
476184-04-0P	476184-05-1P	476184-06-2P	476184-07-3P	476184-08-4P
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476184-24-4P	476184-25-5P	476184-26-6P	476184-27-7P	476184-28-8P
476184-29-9P	476184-30-2P	476184-31-3P	476184-32-4P	476184-33-5P
476184-34-6P	476184-35-7P	476184-36-8P	476184-37-9P	476184-38-0P
476184-39-1P	476184-40-4P	476184-41-5P	476184-42-6P	476184-43-7P
476184-44-8P	476184-45-9P	476184-46-0P	476184-47-1P	476184-48-2P
476184-49-3P	476184-50-6P	476184-51-7P	476184-52-8P	476184-53-9P
476184-54-0P	476184-55-1P	476184-56-2P	476184-57-3P	476184-58-4P
476184-59-5P	476184-60-8P	476184-61-9P	476184-62-0P	476184-63-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix
metalloprotease and/or aggrecanase inhibitors)

IT	476184-64-2P	476184-65-3P	476184-66-4P	476184-67-5P	476184-68-6P
	476184-69-7P	476184-70-0P	476184-71-1P	476184-72-2P	476184-73-3P
	476184-74-4P	476184-75-5P	476184-76-6P	476184-77-7P	476184-78-8P
	476184-79-9P	476184-80-2P	476184-81-3P	476184-82-4P	476184-83-5P
	476184-84-6P	476184-85-7P	476184-86-8P	476184-87-9P	476184-88-0P
	476184-89-1P	476184-90-4P	476184-91-5P	476184-92-6P	476184-93-7P
	476184-94-8P	476184-95-9P	476184-96-0P	476184-97-1P	476184-98-2P
	476184-99-3P	476185-00-9P	476185-01-0P	476185-02-1P	476185-03-2P
	476185-04-3P	476185-05-4P	476185-06-5P	476185-07-6P	476185-08-7P
	476185-09-8P	476185-10-1P	476185-11-2P	476185-12-3P	476185-13-4P
	476185-14-5P	476185-15-6P	476185-16-7P	476185-17-8P	476185-18-9P
	476185-19-0P	476185-20-3P	476185-21-4P	476185-22-5P	476185-23-6P
	476185-24-7P	476185-25-8P	476185-26-9P	476185-27-0P	476185-28-1P
	476185-29-2P	476185-30-5P	476185-32-7P	476185-33-8P	476185-35-0P
	476185-37-2P	476185-38-3P	476185-40-7P	476185-42-9P	476185-44-1P
	476185-45-2P	476185-46-3P	476185-47-4P	476185-48-5P	476185-49-6P
	476185-50-9P	476185-51-0P	476185-52-1P	476185-53-2P	476185-54-3P
	476185-55-4P	476185-56-5P	476185-57-6P	476185-58-7P	476185-59-8P
	476185-60-1P	476185-61-2P	476185-62-3P	476185-63-4P	476185-64-5P
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	476185-75-8P	476185-76-9P	476185-77-0P	476185-78-1P	476185-79-2P
	476185-80-5P	476185-81-6P	476185-82-7P	476185-83-8P	476185-84-9P
	476185-85-0P	476185-86-1P	476185-87-2P	476185-88-3P	476185-89-4P
	476185-90-7P	476185-91-8P	476185-92-9P	476185-93-0P	476185-94-1P

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 476187-03-8P 476187-04-9P 476187-05-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix
 metalloprotease and/or aggrecanase inhibitors)

IT 476187-06-1P 476187-07-2P 476187-08-3P
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 476187-59-4P 476187-60-7P 476187-61-8P 476187-62-9P 476187-63-0P
 476187-64-1P 476187-65-2P 476187-66-3P 476187-67-4P 476187-68-5P
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476188-34-8P 476188-35-9P 476188-36-0P 476188-37-1P 476188-38-2P
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 476188-75-7P 476188-76-8P 476188-77-9P 476188-78-0P 476188-79-1P
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 476189-08-9P 476189-09-0P **476189-11-4P** 476189-13-6P
 476195-35-4P 476195-36-5P 476195-37-6P 476195-40-1P 476195-42-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 146480-35-5, Matrix metalloproteinase-2 146480-36-6, Matrix metalloproteinase-9 147172-61-0, Aggrecanase 175449-82-8, Matrix metalloproteinase-13

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 151769-16-3, Tnf- α convertase

RL: BSU (Biological study, unclassified); BIOL (Biological study) (pathol. condition treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 476189-26-1P 476189-28-3P **476189-30-7P** **476189-32-9P**
 476189-34-1P 476189-36-3P **476189-38-5P** **476189-41-0P**
476189-44-3P 476189-47-6P 476189-49-8P 476189-51-2P
476189-53-4P 476189-55-6P 476189-61-4P 476189-64-7P
 476189-83-0P 476190-09-7P 476190-24-6P 476190-43-9P 476190-45-1P
 476190-47-3P 476190-49-5P 476190-51-9P 476190-53-1P 476190-55-3P
 476190-57-5P 476190-59-7P 476190-61-1P 476190-63-3P 476190-65-5P
 476190-67-7P 476190-69-9P 476190-71-3P 476190-77-9P 476190-79-1P
 476190-85-9P 476190-87-1P 476190-95-1P 476190-97-3P 476190-99-5P
476191-01-2P 476191-11-4P **476191-13-6P**
476191-15-8P **476191-17-0P** 476191-19-2P 476191-21-6P
476191-23-8P **476191-25-0P** **476191-27-2P**
476191-29-4P **476191-31-8P** **476191-33-0P**
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 476191-83-0P 476191-85-2P 476191-89-6P 476191-90-9P 476191-92-1P
 476191-98-7P 476192-00-4P 476192-02-6P **476192-04-8P**
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476194-81-7P 476194-82-8P **476194-83-9P** 476194-85-1P
 476194-88-4P **476194-90-8P** 476194-91-9P 476194-92-0P
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 476195-16-1P 476195-18-3P 476195-20-7P 476195-21-8P
476195-22-9P **476195-24-1P** **476195-25-2P**
476195-26-3P **476195-27-4P** 476195-29-6P 476195-30-9P
476195-31-0P **476195-33-2P** 476195-34-3P
476195-41-2P 654638-95-6P 654638-96-7P 654638-97-8P
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 654639-03-9P 654639-04-0P 654639-05-1P 654639-07-3P 654639-09-5P
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 654639-15-3P 654639-16-4P 654639-17-5P 654639-18-6P 654639-19-7P
 654639-20-0P 654639-21-1P 654639-23-3P 654639-24-4P 654639-25-5P
 654639-26-6P 654639-27-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 476189-58-9 476189-67-0 476189-70-5 **476189-73-8**
 476189-76-1 476189-79-4 **476189-81-8** 476189-87-4
 476189-89-6 **476189-91-0** **476189-93-2**
476189-95-4 476189-97-6 476189-99-8 476190-01-9
 476190-03-1 476190-05-3 476190-07-5 476190-11-1 476190-14-4
 476190-16-6 476190-18-8 476190-20-2 476190-22-4 476190-26-8
 476190-28-0 **476190-30-4** 476190-32-6 476190-34-8
 476190-36-0 476190-38-2 476190-39-3 476190-41-7 476190-73-5
 476190-75-7 476190-81-5 476190-83-7 476190-89-3 476190-91-7
 476190-93-9 476191-03-4 476191-05-6 476191-07-8 476191-09-0
476191-35-2 476191-37-4 476191-39-6 476191-41-0
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 476195-38-7 476195-39-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 75-03-6, Iodoethane 75-16-1, Methylmagnesium bromide 91-21-4,
 1,2,3,4-Tetrahydroisoquinoline 95-55-6, 2-Aminophenol 96-34-4, Methyl
 chloroacetate 96-48-0, γ -Butyrolactone 98-80-6, Phenylboronic
 acid 100-07-2, p-Anisoyl chloride 104-92-7, 4-Bromoanisole 106-53-6,
 4-Bromobenzenethiol 107-18-6, Allyl alcohol, reactions 107-59-5,
 tert-Butyl chloroacetate 124-63-0, Methylsulfonyl chloride 332-25-2,
 4-Trifluoromethoxybenzonitrile 371-42-6, 4-Fluorothiophenol 580-13-2,
 2-Bromonaphthalene 586-77-6, 4-Bromo-N,N-dimethylaniline 821-09-0,
 4-Penten-1-ol 867-13-0, Triethyl phosphonoacetate 873-62-1,
 3-Cyanophenol 883-44-3, N-(3-Hydroxypropyl)phthalimide 1126-09-6,
 Ethyl isonipecotate 1679-18-1, 4-Chlorobenzeneboronic acid 1765-93-1,
 4-Fluorobenzeneboronic acid 2382-96-9, 2-Mercaptobenzoxazole
 3161-51-1, 3-(Dibenzylamino)-1-propanol 4799-68-2, 3-Benzoyloxy-1-
 propanol 5292-43-3, tert-Butyl bromoacetate 5414-19-7,
 Bis(2-bromoethyl)ether 6482-24-2, 2-Bromoethyl methyl ether 7051-34-5,
 Bromomethylcyclopropane 7658-80-2, o-Toluic hydrazide 17715-69-4,
 1-Bromo-2,4-dimethoxybenzene 17997-47-6, 2-Tributylstannylpyridine
 18791-75-8, 4-Bromo-2-thiophenecarboxaldehyde 27374-25-0,
 [(1-Ethoxycyclopropyl)oxy]trimethylsilane 28229-69-8, 3-Bromophenethyl
 alcohol 42287-90-1 42330-88-1, 2-(3-Chloropropoxy)tetrahydro-2H-pyran
 52898-32-5, N-(3-Buten-1-yl)phthalimide 55162-82-8, 5-Benzoyloxy-1-
 pentanol 56935-71-8, 4-(Trifluoromethoxy)benzamidoxime 58885-58-8,
 tert-Butyl N-(3-hydroxypropyl)carbamate 89691-67-8, 2-Bromo-4-
 methoxyacetophenone 144025-03-6, 2,4-Difluorophenylboronic acid
 155288-39-4 168267-41-2, 3,4-Difluorobenzeneboronic acid 226396-34-5,
 Ethyl 4-[(4-fluorophenyl)sulfonyl]-1-(2-methoxyethyl)piperidine-4-
 carboxylate 476194-58-8 476194-61-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 99-98-9P, N,N-Dimethyl-1,4-phenylenediamine 405-31-2P 65537-54-4P
 71912-71-5P, [1,1'-Biphenyl]-3-ethanol 136416-19-8P,
 [1,1'-Biphenyl]-3-propanol 142851-03-4P 212770-40-6P 212770-41-7P
 226389-21-5P 226396-33-4P, Ethyl 4-[(4-fluorophenyl)sulfonyl]piperidine-
 4-carboxylate hydrochloride 226396-62-9P 226396-63-0P 226396-70-9P
 226396-71-0P 226396-72-1P 226398-02-3P 226399-90-2P 226401-27-0P

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 476194-73-7P 476194-75-9P 476195-43-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

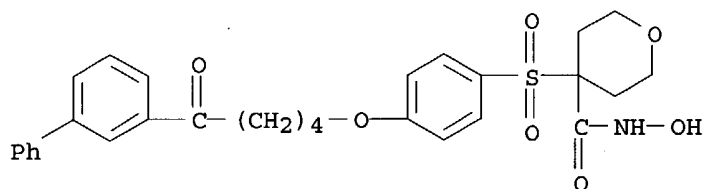
IT **476182-38-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

RN 476182-38-4 HCAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[(5-[1,1'-biphenyl]-3-yl-5-oxopentyl)oxy]phenyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)



L12 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:888730 HCAPLUS

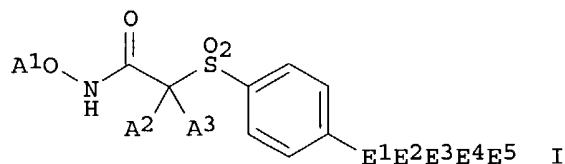
DN 137:384747

ED Entered STN: 22 Nov 2002

TI Preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors

IN Barta, Thomas E.; Becker, Daniel P.; Bedell,
Louis J.; Boehm, Terri L.; Fobian, Yvette M.;
Freskos, John N.; Hockerman, Susan L.; Kassab,
Darren J.; Kolodziej, Steve A.; McDonald, Joseph J.
; Norton, Monica B.; Rico, Joseph G.; Talley,
John J.; Villamil, Clara I.; Wang, Tijuana Jane
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 627 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D309-08
ICS C07D405-12; C07D401-12; C07D211-66; C07D407-12; C07D417-12;
C07D409-12; C07D413-12; A61K031-351; A61P035-00
CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002092588	A2	20021121	WO 2002-US15257	20020510
	WO 2002092588	A3	20030227		
	W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
EP	1385836	A2	20040204	EP 2002-729204	20020510
	R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
BR	2002009525	A	20040309	BR 2002-9525	20020510
NO	2003004995	A	20031216	NO 2003-4995	20031110
PRAI	US 2001-290375P	P	20010511		
	WO 2002-US15257	W	20020510		
OS	MARPAT 137:384747				
GI					



AB Title compds. [I; A1 = H, (substituted) alkylcarbonyl, alkoxy carbonyl, carbocyclylcarbonyl, heterocyclylcarbonyl, aminoalkylthiocarbonyl, etc.; A2A3C = (substituted) heterocyclyl; E1 = O, S, SO, SO2, NR1, CONR1, CR1R2; E2 = (substituted) alkyl, cycloalkyl, alkylcycloalkyl, cycloalkylalkyl, alkylcycloalkylalkyl; E3 = CO, O2C, CNR3, NR4, NR4SO2, S, SO, etc.; E4 = bond, (substituted) alkyl, alkenyl; E5 = H, OH, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, carbocyclyl, heterocyclyl; R1, R2 = H, (substituted) alkyl; with provisos], were prepared Thus, tetrahydro-4-[[4-[[5-(4-methoxyphenyl)-5-oxopentyl]oxy]phenyl]sulfonyl]-2H-pyran-4-carboxylic acid 1,1-dimethylethyl ester (preparation given) in CH2Cl2

was treated with Me₃SiCN and ZnI₂ to give 81% cyanohydrin. The product in DMF was treated with 1-hydroxybenzotriazole, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, N-methylmorpholine, and tetrahydropyranhydroxylamine to give 70% THP-protected hydroxamate. The latter was stirred with aqueous HCl in dioxane/MeOH to give 59% 4-[[4-[[[(4Z)-5-cyano-5-(4-methoxyphenyl)-4-pentenyl]oxy]phenyl]sulfonyl]tetrahydro-N-hydroxy-2H-pyran-4-carboxamide. This inhibited MMP-13 with IC₅₀ = 0.2 nM.

- ST arylsulfonylpyranhydroxamate prepn matrix metalloprotease aggrecanase inhibitor; pyranhydroxamate arylsulfonyl prepn matrix metalloprotease aggrecanase inhibitor; drug arylsulfonylpyran hydroxamate prepn
- IT Antiarteriosclerotics
(antiatherosclerotics; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Aneurysm
(aortic, treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Heart, disease
(cardiomyopathy, treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Nervous system, disease
(central, treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Lung, disease
(chronic obstructive, treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Eye, disease
(cornea, ulcer, treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Thrombosis
(coronary arterial, treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Artery, disease
(coronary, thrombosis, treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Radiation
(damage, biol., treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Animal tissue
(destruction treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Skin, disease
(epidermolysis bullosa, treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Heart, disease
(failure, treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Neoplasm
(metastasis, treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Infection
(postmyocardial, treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)
- IT Anti-Alzheimer's agents
- Anti-inflammatory agents
- Antiarthritics
- Anticoagulants
- Antipyretics
- Antitumor agents
- Human

(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT Hydroxamic acids
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT Proteins
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (proteinuria, treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT Alzheimer's disease
 Anorexia
 Arthritis
 Atherosclerosis
 Autoimmune disease
 Bone, disease
 Cachexia
 Cardiovascular system, disease
 Cirrhosis
 Coagulation
 Emphysema
 Eye, disease
 Fever and Hyperthermia
 Fibrosis
 Hemorrhage
 Inflammation
 Kidney, disease
 Liver, disease
 Lung, disease
 Multiple sclerosis
 Neoplasm
 Osteoarthritis
 Psoriasis
 Rheumatoid arthritis
 Sepsis
 Shock (circulatory collapse)
 Transplant rejection
 Ulcer
 (treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 308829-55-2P 476182-04-4P 476182-05-5P 476182-07-7P 476182-08-8P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix
metalloprotease and/or aggrecanase inhibitors)

IT	476184-64-2P	476184-65-3P	476184-66-4P	476184-67-5P	476184-68-6P
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	476184-79-9P	476184-80-2P	476184-81-3P	476184-82-4P	476184-83-5P
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	476185-45-2P	476185-46-3P	476185-47-4P	476185-48-5P	476185-49-6P
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	476185-55-4P	476185-56-5P	476185-57-6P	476185-58-7P	476185-59-8P
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476187-03-8P 476187-04-9P 476187-05-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix
metalloprotease and/or aggrecanase inhibitors)

IT 476187-06-1P 476187-07-2P 476187-08-3P
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 476188-75-7P 476188-76-8P 476188-77-9P 476188-78-0P 476188-79-1P
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 476195-35-4P 476195-36-5P 476195-37-6P 476195-40-1P 476195-42-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 146480-35-5, Matrix metalloproteinase-2 146480-36-6, Matrix metalloproteinase-9 147172-61-0, Aggrecanase 175449-82-8, Matrix metalloproteinase-13

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 151769-16-3, Tnf- α convertase

RL: BSU (Biological study, unclassified); BIOL (Biological study) (pathol. condition treatment; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 476189-26-1P 476189-28-3P **476189-30-7P** **476189-32-9P**
 476189-34-1P 476189-36-3P **476189-38-5P** **476189-41-0P**
476189-44-3P 476189-47-6P 476189-49-8P 476189-51-2P
476189-53-4P 476189-55-6P 476189-61-4P 476189-64-7P
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 476190-85-9P 476190-87-1P 476190-95-1P 476190-97-3P 476190-99-5P
476191-01-2P 476191-11-4P **476191-13-6P**
476191-15-8P **476191-17-0P** 476191-19-2P 476191-21-6P
476191-23-8P **476191-25-0P** **476191-27-2P**
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476194-81-7P 476194-82-8P **476194-83-9P** 476194-85-1P
 476194-88-4P **476194-90-8P** 476194-91-9P 476194-92-0P
 476194-93-1P 476194-94-2P 476195-11-6P 476195-12-7P 476195-15-0P
 476195-16-1P 476195-18-3P 476195-20-7P 476195-21-8P
476195-22-9P **476195-24-1P** **476195-25-2P**
476195-26-3P **476195-27-4P** 476195-29-6P 476195-30-9P
476195-31-0P **476195-33-2P** 476195-34-3P
476195-41-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

IT 476189-58-9 476189-67-0 476189-70-5 **476189-73-8**
 476189-76-1 476189-79-4 **476189-81-8** 476189-87-4
 476189-89-6 **476189-91-0** **476189-93-2**
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 476190-03-1 476190-05-3 476190-07-5 476190-11-1 476190-14-4
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 476190-28-0 **476190-30-4** 476190-32-6 476190-34-8
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 476190-93-9 476191-03-4 476191-05-6 476191-07-8 476191-09-0
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 476191-87-4 476191-94-3 476191-96-5
 476192-10-6 476194-86-2 476194-87-3 476194-89-5
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 476195-01-4 476195-02-5 476195-03-6 476195-04-7 476195-05-8
 476195-06-9 476195-14-9 476195-17-2 476195-23-0
 476195-38-7 476195-39-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease
 and/or aggrecanase inhibitors)

IT 75-03-6, Iodoethane 75-16-1, Methylmagnesium bromide 91-21-4,
 1,2,3,4-Tetrahydroisoquinoline 95-55-6, 2-Aminophenol 96-34-4, Methyl
 chloroacetate 96-48-0, γ -Butyrolactone 98-80-6, Phenylboronic
 acid 100-07-2, p-Anisoyl chloride 104-92-7, 4-Bromoanisole 106-53-6,
 4-Bromobenzenethiol 107-18-6, Allyl alcohol, reactions 107-59-5,
 tert-Butyl chloroacetate 124-63-0, Methylsulfonyl chloride 332-25-2,
 4-Trifluoromethoxybenzonitrile 371-42-6, 4-Fluorothiophenol 580-13-2,
 2-Bromonaphthalene 586-77-6, 4-Bromo-N,N-dimethylaniline 821-09-0,
 4-Penten-1-ol 867-13-0, Triethyl phosphonoacetate 873-62-1,
 3-Cyanophenol 883-44-3, N-(3-Hydroxypropyl)phthalimide 1126-09-6,
 Ethyl isonipicotate 1679-18-1, 4-Chlorobenzeneboronic acid 1765-93-1,
 4-Fluorobenzeneboronic acid 2382-96-9, 2-Mercaptobenzoxazole
 3161-51-1, 3-(Dibenzylamino)-1-propanol 4799-68-2, 3-Benzoyloxy-1-
 propanol 5292-43-3, tert-Butyl bromoacetate 5414-19-7,
 Bis(2-bromoethyl)ether 6482-24-2, 2-Bromoethyl methyl ether 7051-34-5,
 Bromomethylcyclopropane 7658-80-2, o-Toluic hydrazide 17715-69-4,
 1-Bromo-2,4-dimethoxybenzene 17997-47-6, 2-Tributylstannylpyridine
 18791-75-8, 4-Bromo-2-thiophenecarboxaldehyde 27374-25-0,
 [(1-Ethoxycyclopropyl)oxy]trimethylsilane 28229-69-8, 3-Bromophenethyl
 alcohol 42287-90-1 42330-88-1, 2-(3-Chloropropoxy)tetrahydro-2H-pyran
 52898-32-5, N-(3-Buten-1-yl)phthalimide 55162-82-8, 5-Benzoyloxy-1-
 pentanol 56935-71-8, 4-(Trifluoromethoxy)benzamidoxime 58885-58-8,
 tert-Butyl N-(3-hydroxypropyl)carbamate 89691-67-8, 2-Bromo-4-
 methoxyacetophenone 144025-03-6, 2,4-Difluorophenylboronic acid
 155288-39-4 168267-41-2, 3,4-Difluorobenzeneboronic acid 226396-34-5,
 Ethyl 4-[(4-fluorophenyl)sulfonyl]-1-(2-methoxyethyl)piperidine-4-
 carboxylate 476194-58-8 476194-61-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease
 and/or aggrecanase inhibitors)

IT 99-98-9P, N,N-Dimethyl-1,4-phenylenediamine 405-31-2P 65537-54-4P
 71912-71-5P, [1,1'-Biphenyl]-3-ethanol 136416-19-8P,
 [1,1'-Biphenyl]-3-propanol 142851-03-4P 212770-40-6P 212770-41-7P
 226389-21-5P 226396-33-4P, Ethyl 4-[(4-fluorophenyl)sulfonyl]piperidine-
 4-carboxylate hydrochloride 226396-62-9P 226396-63-0P 226396-70-9P
 226396-71-0P 226396-72-1P 226398-02-3P 226399-90-2P 226401-27-0P
 283153-83-3P 476189-15-8P 476189-17-0P 476189-19-2P 476189-20-5P
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 476192-70-8P 476192-72-0P 476192-74-2P 476192-77-5P 476192-79-7P
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 476193-01-8P 476193-03-0P 476193-05-2P 476193-08-5P 476193-11-0P
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476193-27-8P 476193-29-0P 476193-31-4P 476193-33-6P 476193-36-9P
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 476194-73-7P 476194-75-9P 476195-43-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease
 and/or aggrecanase inhibitors)

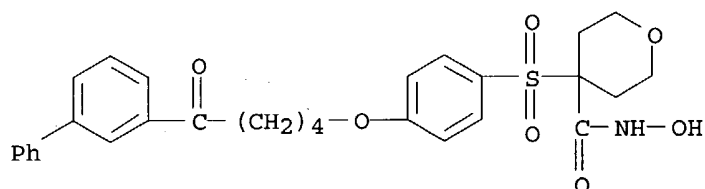
IT **476182-38-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix
 metalloprotease and/or aggrecanase inhibitors)

RN 476182-38-4 HCAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[4-[(5-[1,1'-biphenyl]-3-yl-5-oxopentyl)oxy]phenyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)



=> fil reg

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DICTIONARY FILE UPDATES: 5 MAY 2004 HIGHEST RN 680179-46-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

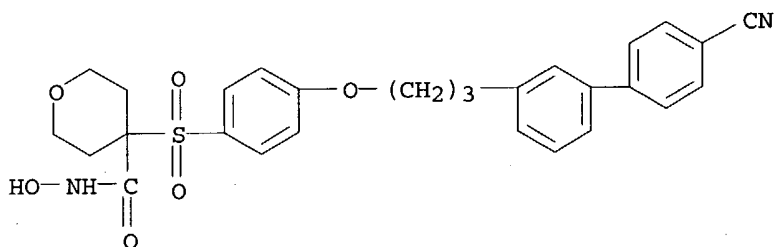
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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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L7 ANSWER 1 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN
RN 476195-41-2 REGISTRY
CN 2H-Pyran-4-carboxamide, 4-[[4-[3-(4'-cyano[1,1'-biphenyl]-3-yl)propoxy]phenyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C28 H28 N2 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



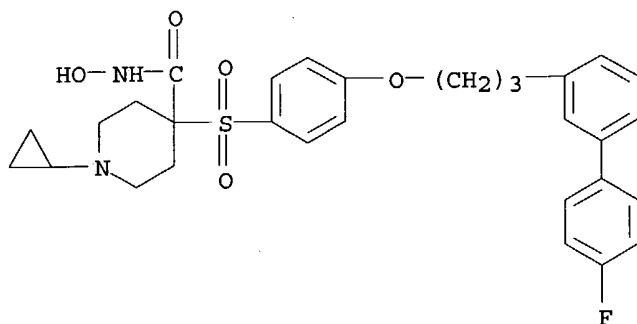
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 10 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN
RN 476194-90-8 REGISTRY
CN 4-Piperidinecarboxamide, 1-cyclopropyl-4-[[4-[3-(4'-fluoro[1,1'-biphenyl]-3-yl)propoxy]phenyl]sulfonyl]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)
MF C30 H33 F N2 O5 S . Cl H
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
CRN (476186-80-8)



● HCl

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 20 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN

RN 476193-90-5 REGISTRY

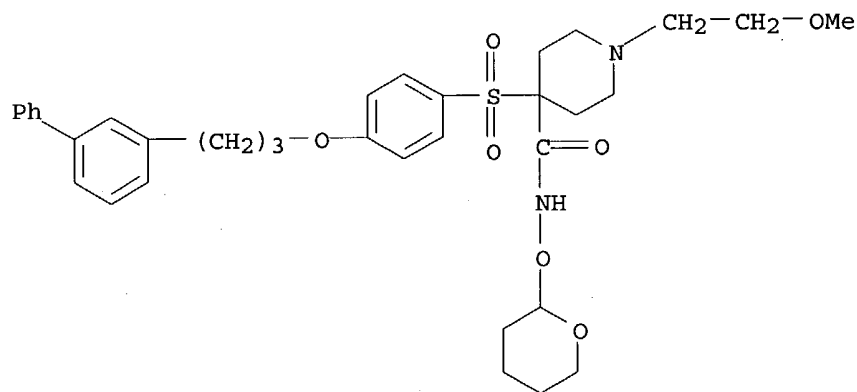
CN 4-Piperidinecarboxamide, 4-[[4-(3-[1,1'-biphenyl]-3-ylpropoxy)phenyl]sulfonyl]-1-(2-methoxyethyl)-N-[(tetrahydro-2H-pyran-2-yl)oxy]-(9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C35 H44 N2 O7 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



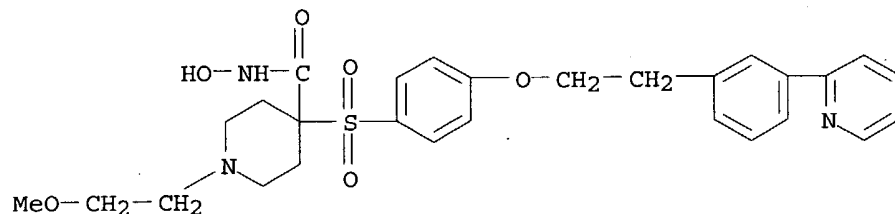
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 30 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN
 RN 476191-33-0 REGISTRY
 CN 4-Piperidinecarboxamide, N-hydroxy-1-(2-methoxyethyl)-4-[[4-[2-[3-(2-pyridinyl)phenyl]ethoxy]phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)
 MF C28 H33 N3 O6 S . Cl H.
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 CRN (476186-57-9)



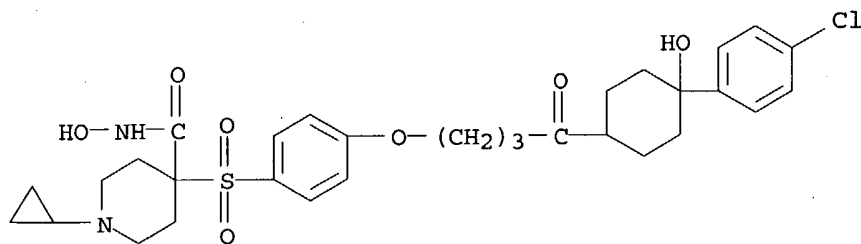
● HCl

2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 40 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN
 RN 476190-30-4 REGISTRY
 CN 4-Piperidinecarboxamide, 4-[[4-[4-[4-(4-chlorophenyl)-4-hydroxycyclohexyl]-4-oxobutoxy]phenyl]sulfonyl]-1-cyclopropyl-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)
 MF C31 H39 Cl N2 O7 S . Cl H
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 CRN (476182-52-2)



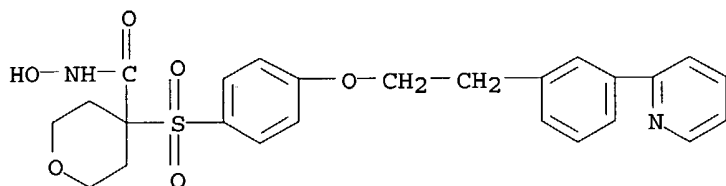
● HCl

2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 50 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN
RN 476189-32-9 REGISTRY
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[2-[3-(2-pyridinyl)phenyl]ethoxy]phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)
MF C25 H26 N2 O6 S . Cl H
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
CRN (476186-55-7)



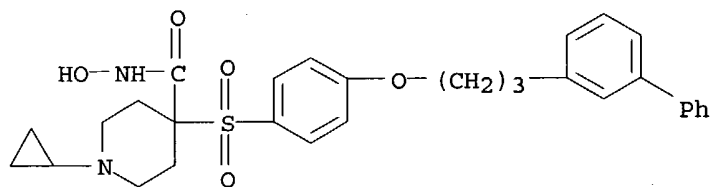
● HCl

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 60 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN
RN 476187-42-5 REGISTRY
CN 4-Piperidinecarboxamide, 4-[[4-(3-[1,1'-biphenyl]-3-ylpropoxy)phenyl]sulfonyl]-1-cyclopropyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C30 H34 N2 O5 S
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



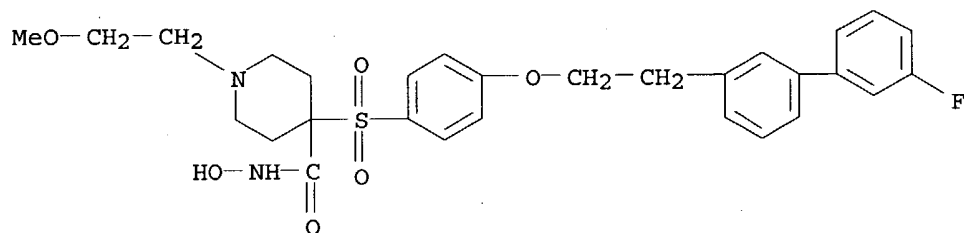
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 70 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN
RN 476187-28-7 REGISTRY
CN 4-Piperidinecarboxamide, 4-[[4-[2-(3'-fluoro[1,1'-biphenyl]-3-yl)ethoxy]phenyl]sulfonyl]-N-hydroxy-1-(2-methoxyethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C29 H33 F N2 O6 S
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



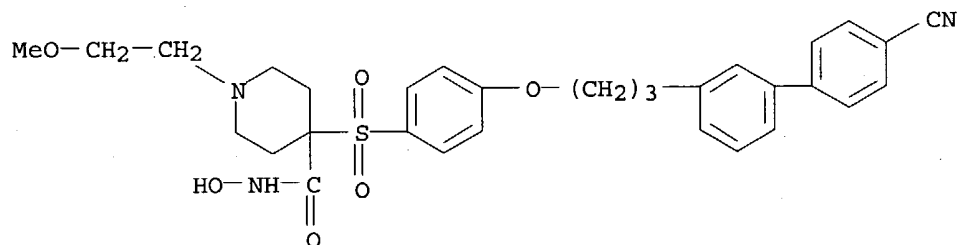
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 80 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN
RN 476187-11-8 REGISTRY
CN 4-Piperidinecarboxamide, 4-[[4-[3-(4'-cyano[1,1'-biphenyl]-3-yl)propoxy]phenyl]sulfonyl]-N-hydroxy-1-(2-methoxyethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C31 H35 N3 O6 S
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



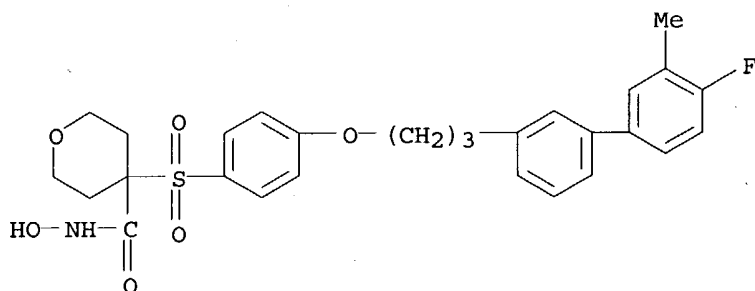
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 90 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN
RN 476187-00-5 REGISTRY
CN 2H-Pyran-4-carboxamide, 4-[[4-[3-(4'-fluoro-3'-methyl[1,1'-biphenyl]-3-yl)propoxy]phenyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C28 H30 F N O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



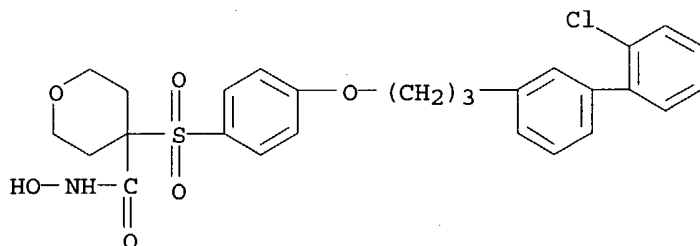
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 100 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN
RN 476186-89-7 REGISTRY
CN 2H-Pyran-4-carboxamide, 4-[[4-[3-(2'-chloro[1,1'-biphenyl]-3-yl)propoxy]phenyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C27 H28 Cl N O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 110 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN

RN 476186-79-5 REGISTRY

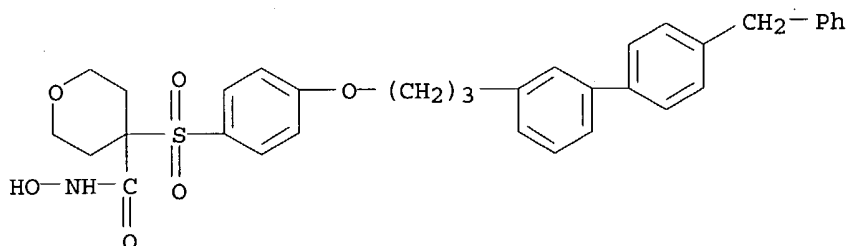
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[3-[4'-(phenylmethyl)[1,1'-biphenyl]-3-yl]propoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C34 H35 N O6 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 120 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN

RN 476186-69-3 REGISTRY

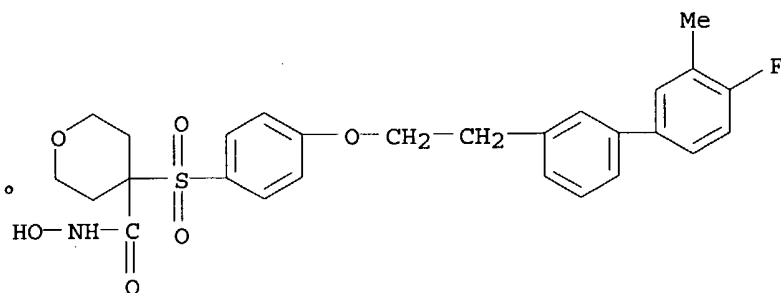
CN 2H-Pyran-4-carboxamide, 4-[[4-[2-(4'-fluoro-3'-methyl[1,1'-biphenyl]-3-yl)ethoxy]phenyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C27 H28 F N O6 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

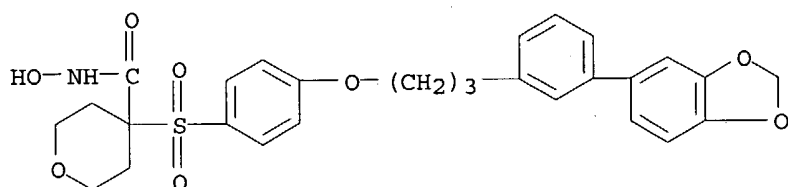
2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 130 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN
RN 476186-59-1 REGISTRY
CN 2H-Pyran-4-carboxamide, 4-[[4-[3-[3-(1,3-benzodioxol-5-yl)phenyl]propoxy]phenyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C28 H29 N O8 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



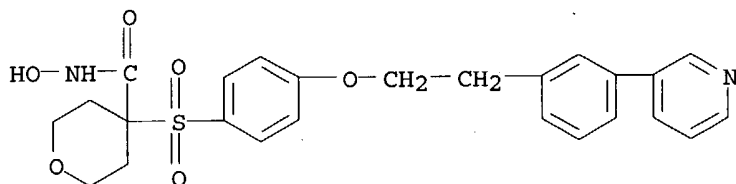
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 140 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN
RN 476186-49-9 REGISTRY
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[2-[3-(3-pyridinyl)phenyl]ethoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H26 N2 O6 S
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



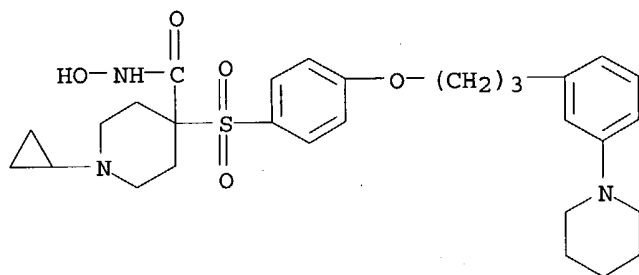
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 150 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN
 RN 476186-39-7 REGISTRY
 CN 4-Piperidinecarboxamide, 1-cyclopropyl-N-hydroxy-4-[[4-[3-[3-(1-piperidinyl)phenyl]propoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C29 H39 N3 O5 S
 CI COM
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



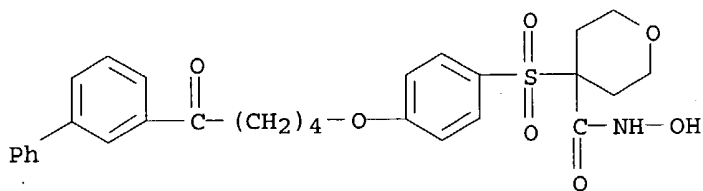
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2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747

L7 ANSWER 157 OF 157 REGISTRY COPYRIGHT 2004 ACS on STN
 RN 476182-38-4 REGISTRY
 CN 2H-Pyran-4-carboxamide, 4-[[4-[(5-[1,1'-biphenyl]-3-yl-5-oxopentyl)oxy]phenyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C29 H31 N O7 S
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:163704

REFERENCE 2: 137:384747